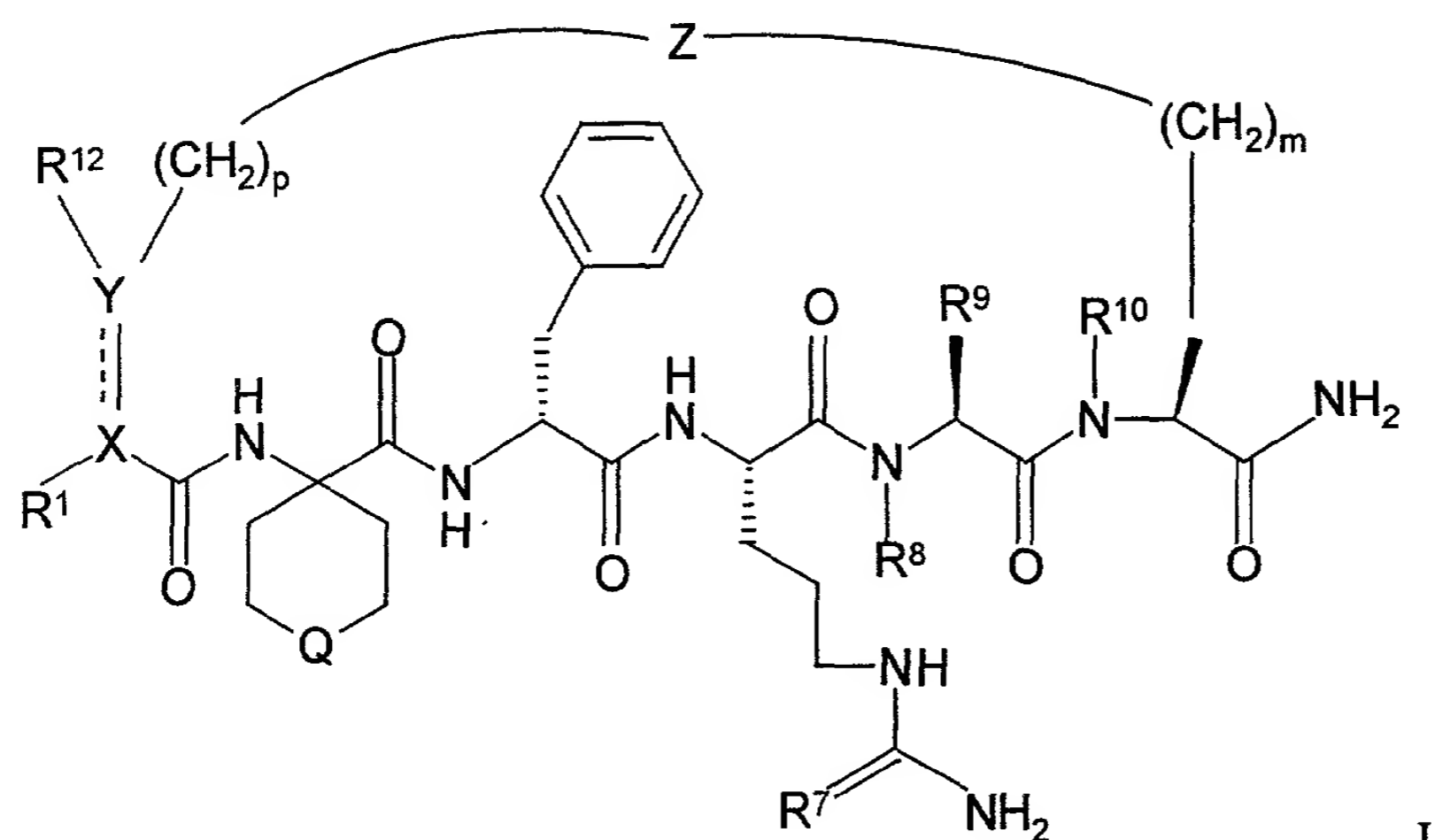


What is claimed is:

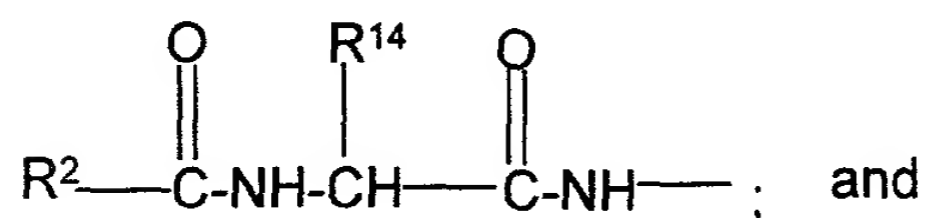
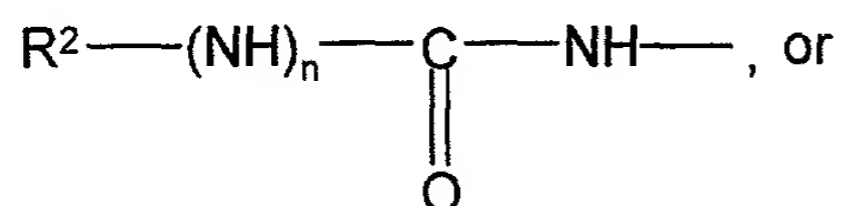
1. A compound of the formula:



I

wherein

$R^1$  and  $R^{12}$  together with X and Y form a phenyl ring and X is C and Y is C, or  $R^1$  is hydrogen,



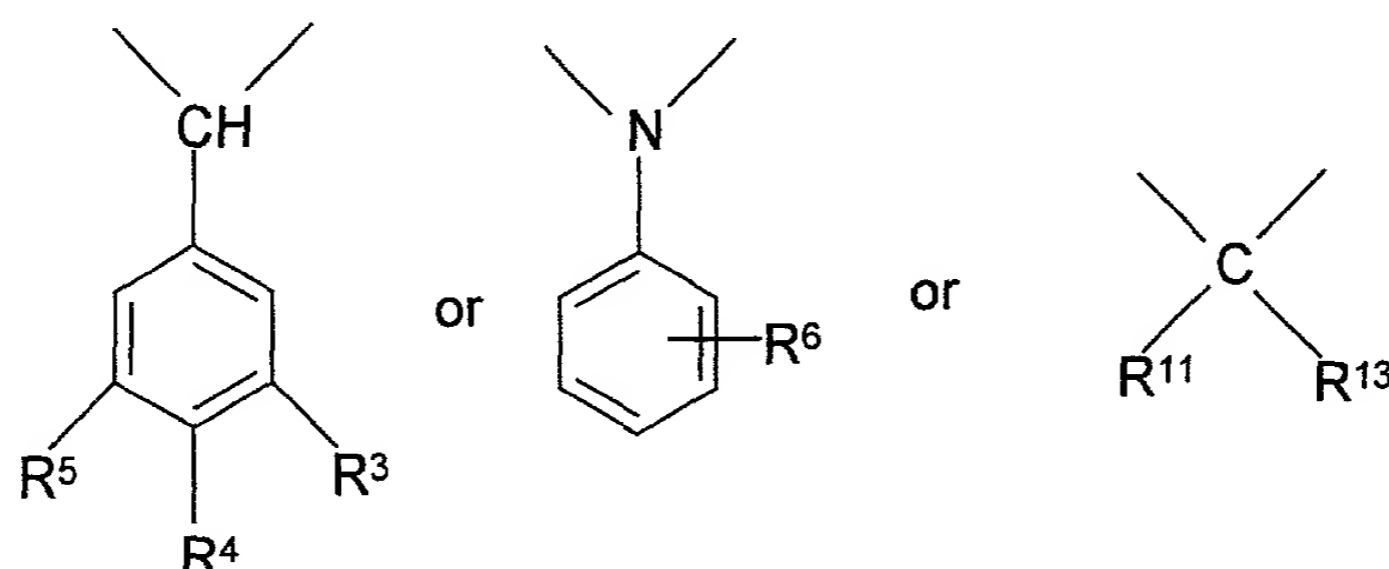
$R^{12}$  is hydrogen, with either X and Y being each C and the bond between X and Y being a double bond, or with X and Y being each CH and the bond between X and Y being a single bond;

$R^2$  is alkyl having from 1 to 5 carbon atoms, alkenyl having from 2 to 5 carbon atoms, or alkynyl having from 2 to 5 carbon atoms ;

$R^{14}$  is alkyl having from 1 to 5 carbon atoms;

$n$  is 0 or 1; and

$Q$  is



wherein  $R^3$ ,  $R^4$  and  $R^5$  are independently hydrogen, halo, alkyl having from 1 to 4 carbon atoms, hydroxy or alkoxy having from 1 to 4 carbon atoms, wherein when  $R^4$  is not hydrogen,  $R^3$  and  $R^5$  are both hydrogen; and

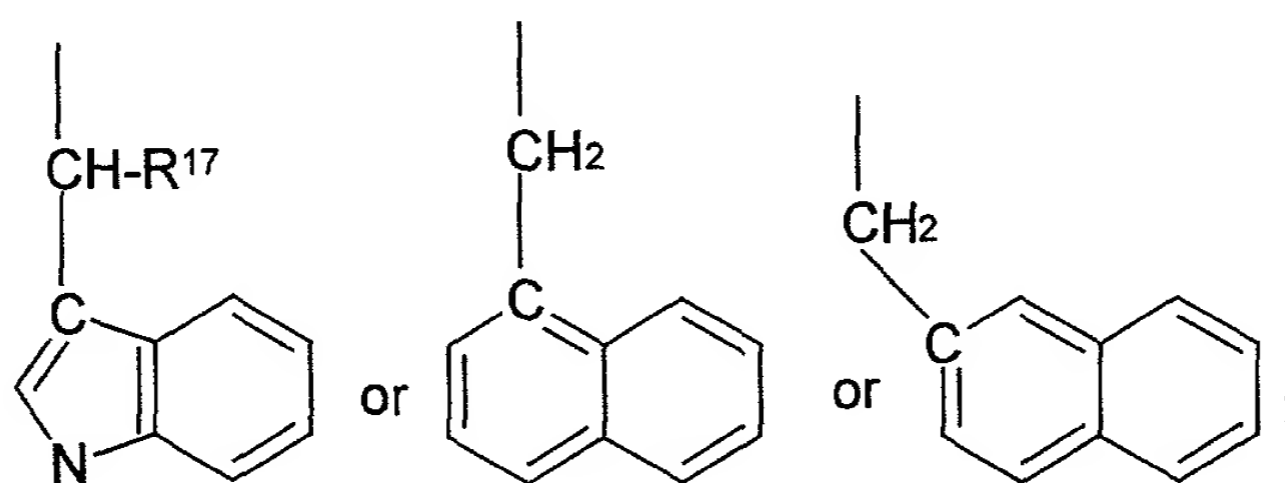
$R^6$  is hydrogen, alkyl having from 1 to 3 carbon atoms, alkoxy having from 1 to 3 carbon atoms, phenoxy, or halo;

$R^{11}$  and  $R^{13}$  are each independently hydrogen, alkyl having 3 or 4 carbons, cycloalkyl having 5 or 6 carbon atoms, or  $R^{11}$  and  $R^{13}$  are both phenyl;

$R^7$  is O or NH;

$R^8$  is hydrogen or methyl;

$R^9$  is

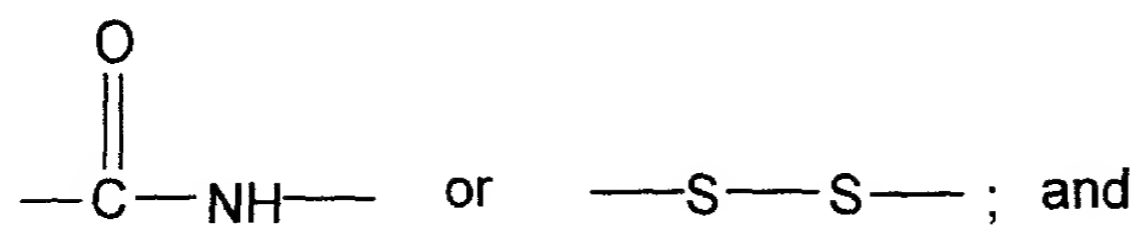


$R^{10}$  is hydrogen or methyl;

$p$  is 0 or 1;

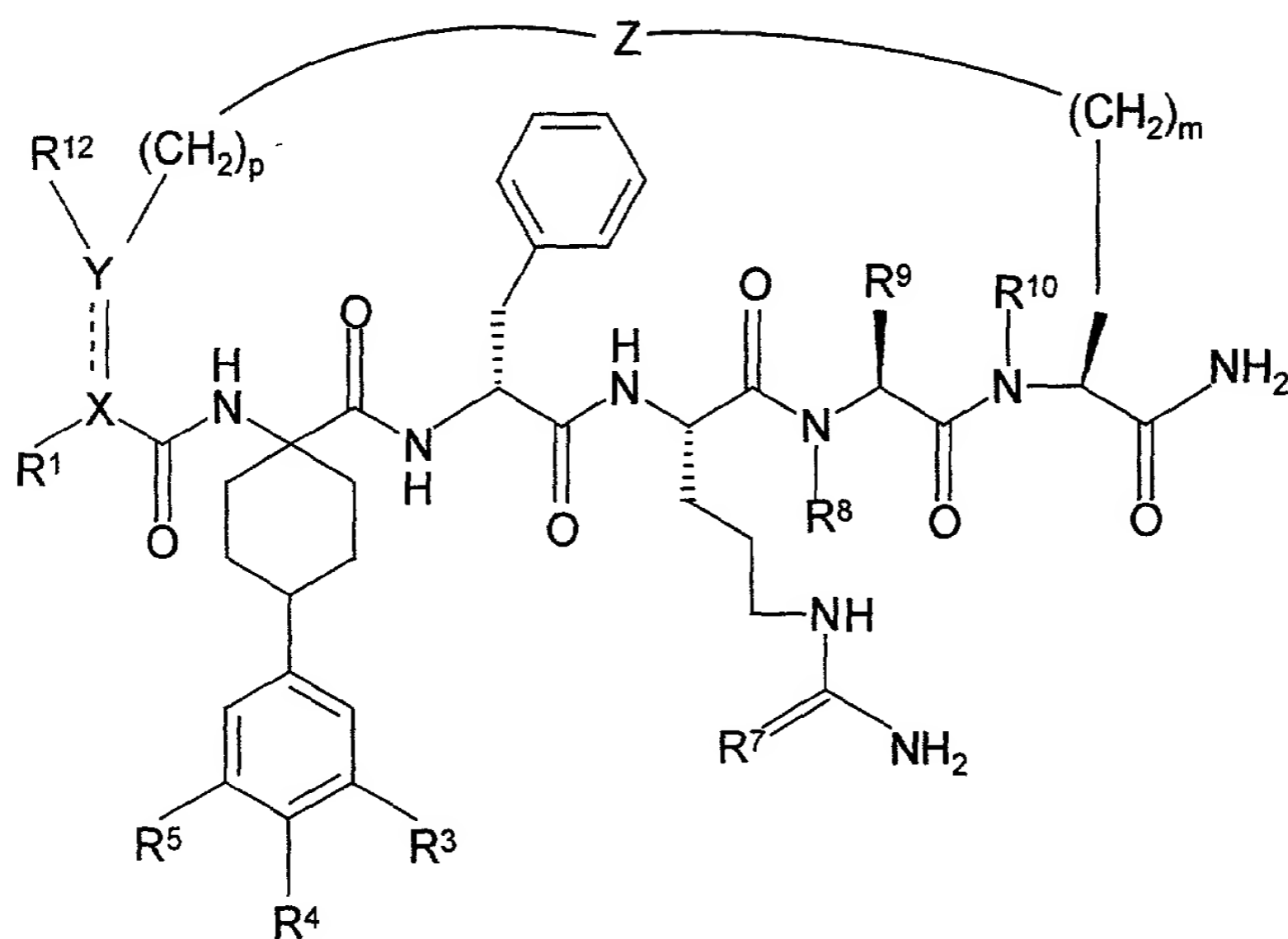
$m$  is 0, 1, 2, or 3; and

Z is



R<sup>17</sup> is hydrogen or lower alkyl.

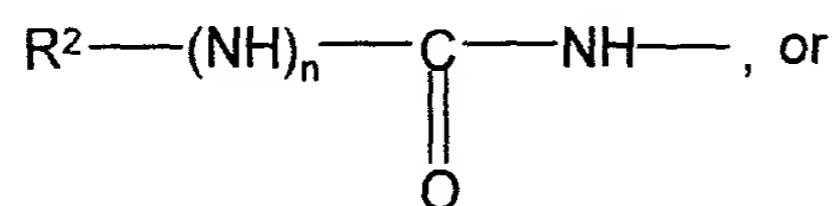
2. A compound of the formula:

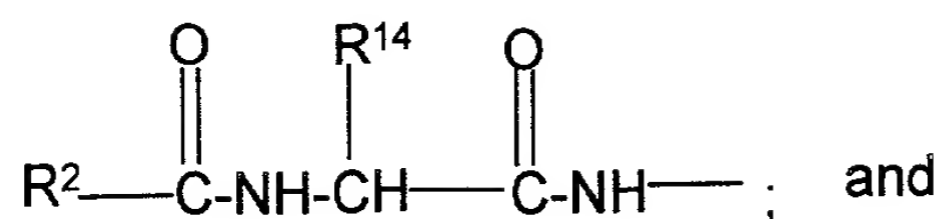


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wherein

R<sup>1</sup> and R<sup>12</sup> together with X and Y form a phenyl ring and X is C and Y is C, or R<sup>1</sup> is hydrogen,





$R^{12}$  is hydrogen, with either X and Y being each C and the bond between X and Y being a double bond, or with X and Y being each CH and the bond between X and Y being a single bond;

$R^2$  is alkyl having from 1 to 5 carbon atoms, alkenyl having from 2 to 5 carbon atoms, or alkynyl having from 2 to 5 carbon atoms;

$R^{14}$  is alkyl having from 1 to 5 carbon atoms;

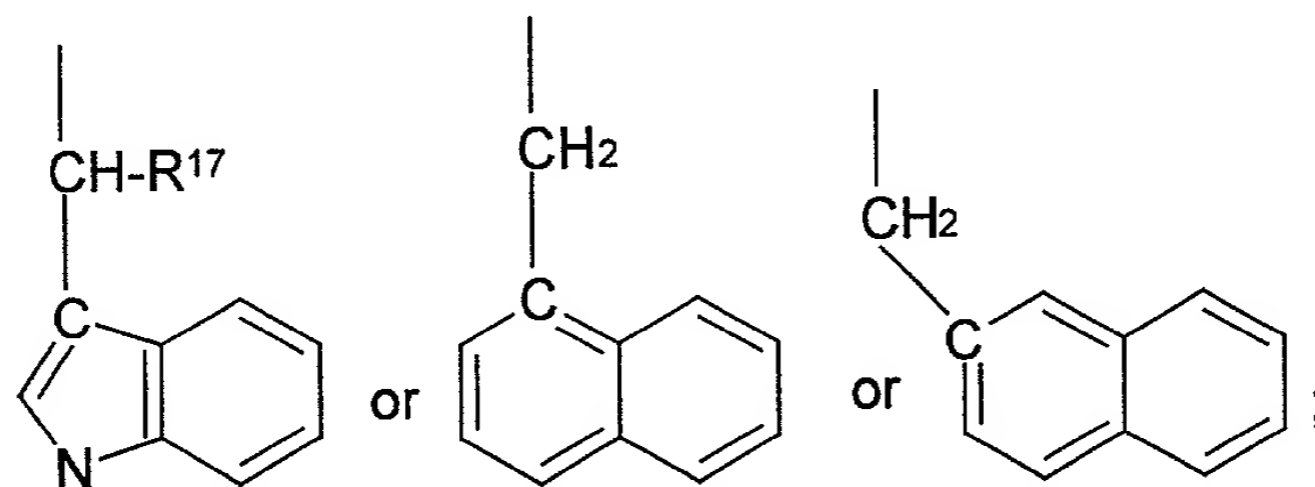
n is 0 or 1;

$R^3$ ,  $R^4$  and  $R^5$  are independently hydrogen, halo, alkyl having from 1 to 4 carbon atoms, hydroxy, or alkoxy having from 1 to 4 carbon atoms; wherein when  $R^4$  is not hydrogen,  $R^3$  and  $R^5$  are both hydrogen;

$R^7$  is O or NH;

$R^8$  is hydrogen or methyl;

$R^9$  is

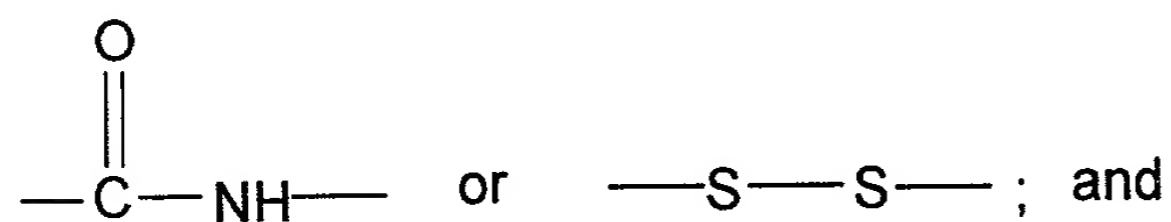


$R^{10}$  is hydrogen or methyl;

p is 0 or 1;

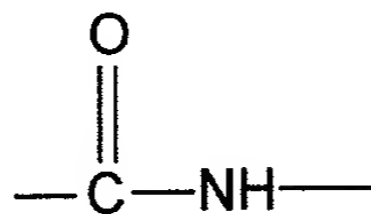
m is 0, 1, 2, or 3; and

Z is



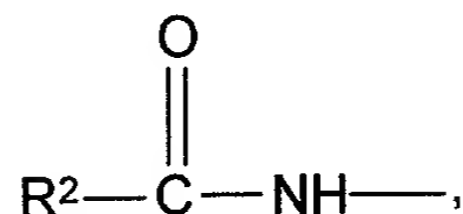
$R^{17}$  is hydrogen or lower alkyl.

3. The compound of claim 2, wherein X and Y are each CH and the bond between X and Y is a single bond; Z is



R<sup>7</sup> is O;

R<sup>1</sup> is

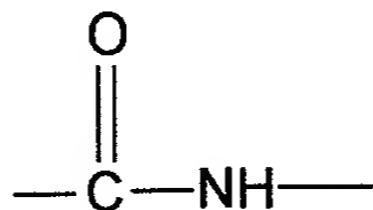


R<sup>2</sup> is alkyl; and

R<sup>10</sup> and R<sup>12</sup> are both hydrogen.

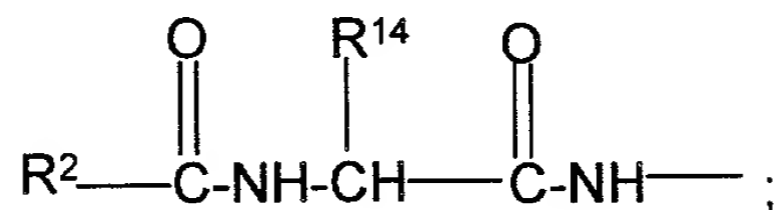
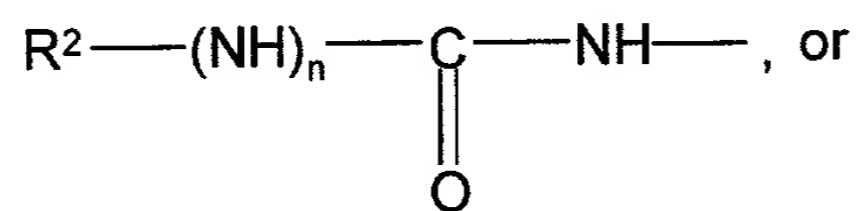
4. The compound of claim 3, Penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Cit-Trp-Lys-NH<sub>2</sub>.

5. The compound of claim 2, wherein Z is



R<sup>7</sup> is NH;

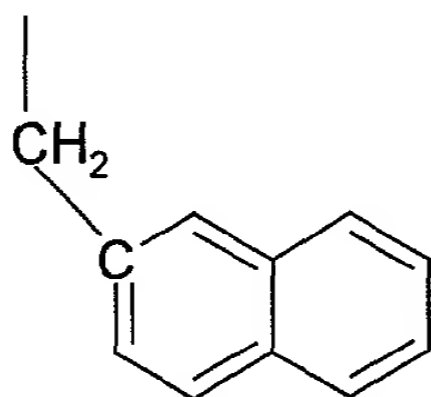
R<sup>1</sup> is hydrogen,



$R^2$  is alkyl; and

$R^{10}$  and  $R^{12}$  are both hydrogen; and  $n$  and  $R^{14}$  are as above.

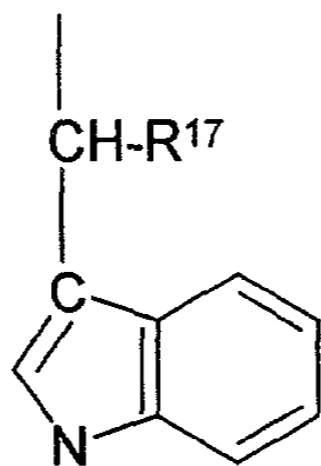
6. The compound of claim 5, wherein X and Y are each CH and the bond between X and Y is a single bond;  $n$  is 0; and  $R^9$  is



7. The compound of claim 6, Penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Arg-(2)Nal-Lys- $\text{NH}_2$ .

8. The compound of claim 6, penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Arg-N-methyl(2)Nal-Lys- $\text{NH}_2$ .

9. The compound of claim 5, wherein  $R^9$  is



and  $R^{17}$  is as above.

10. The compound of claim 9, wherein X and Y are each CH and the bond between X and Y is a single bond; and one of  $R^3$ ,  $R^4$  and  $R^5$  is hydrogen, halo or alkyl and the remainder are hydrogen.

11. The compound of claim 10, Penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Arg-Trp-Lys- $\text{NH}_2$ .

12. The compound of claim 10, Penta-cyclo(Asp-Lys)-Asp-4- MeApc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.
13. The compound of claim 10, Penta-cyclo(Glu-Lys)-Glu-Apc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.
14. The compound of claim 10, Penta-cyclo(Asp-Orn)-Asp-Apc-(D)Phe-Arg-Trp-Orn-NH<sub>2</sub>.
15. The compound of claim 10, Penta-cyclo(Asp-Dbr)-Asp-Apc-(D)Phe-Arg-Trp-Dbr-NH<sub>2</sub>.
16. The compound of claim 10, Penta-cyclo(Asp-Dpr)-Asp-Apc-(D)Phe-Arg-Trp-Dpr-NH<sub>2</sub>.
17. The compound of claim 10, Ac-cyclo(Asp-Dpr)-Asp-Apc-(D)Phe-Arg-Trp-Dpr-NH<sub>2</sub>.
18. The compound of claim 9, wherein X and Y are each CH and the bond between X and Y is a single bond; one of R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> is alkoxy, and the remainder are hydrogen; and n is 0.
19. The compound of claim 18, Penta-cyclo(Asp-Lys)-Asp-4-MeOApc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.
20. The compound of claim 18, Penta-cyclo(Asp-Lys)-Asp-4-EtOApc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.
21. The compound of claim 18, Penta-cyclo(Asp-Lys)-Asp-4-iPrOApc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

22. The compound of claim 18, Penta-cyclo(Asp-Lys)-Asp-3-MeOApc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

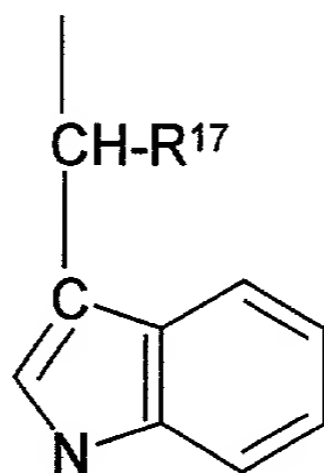
23. The compound of claim 9, Penta-cyclo(Asp-Lys)-Asp-4-OHApc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

24. The compound of claim 9, Penta-cyclo(Asp-Lys)-Asp-4-ClApc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

25. The compound of claim 9, wherein each of R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>8</sup> and R<sup>10</sup> is hydrogen;

R<sup>7</sup> is NH;

R<sup>9</sup> is



p is 0; and R<sup>17</sup> is as above.

26. The compound of claim 25, Cyclo(succinic acid-Lys)-succinic acid-Apc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

27. The compound of claim 25, Cyclo(maleic acid-Lys)-maleic acid-Apc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

28. The compound of claim 25, Cyclo(succinic acid-Dpr)-succinic acid-Apc-(D)Phe-Arg-Trp-Dpr-NH<sub>2</sub>.

29. The compound of claim 25, Cyclo(maleic acid-Dpr)-maleic acid-Apc-(D)Phe-Arg-Trp-Dpr-NH<sub>2</sub>.

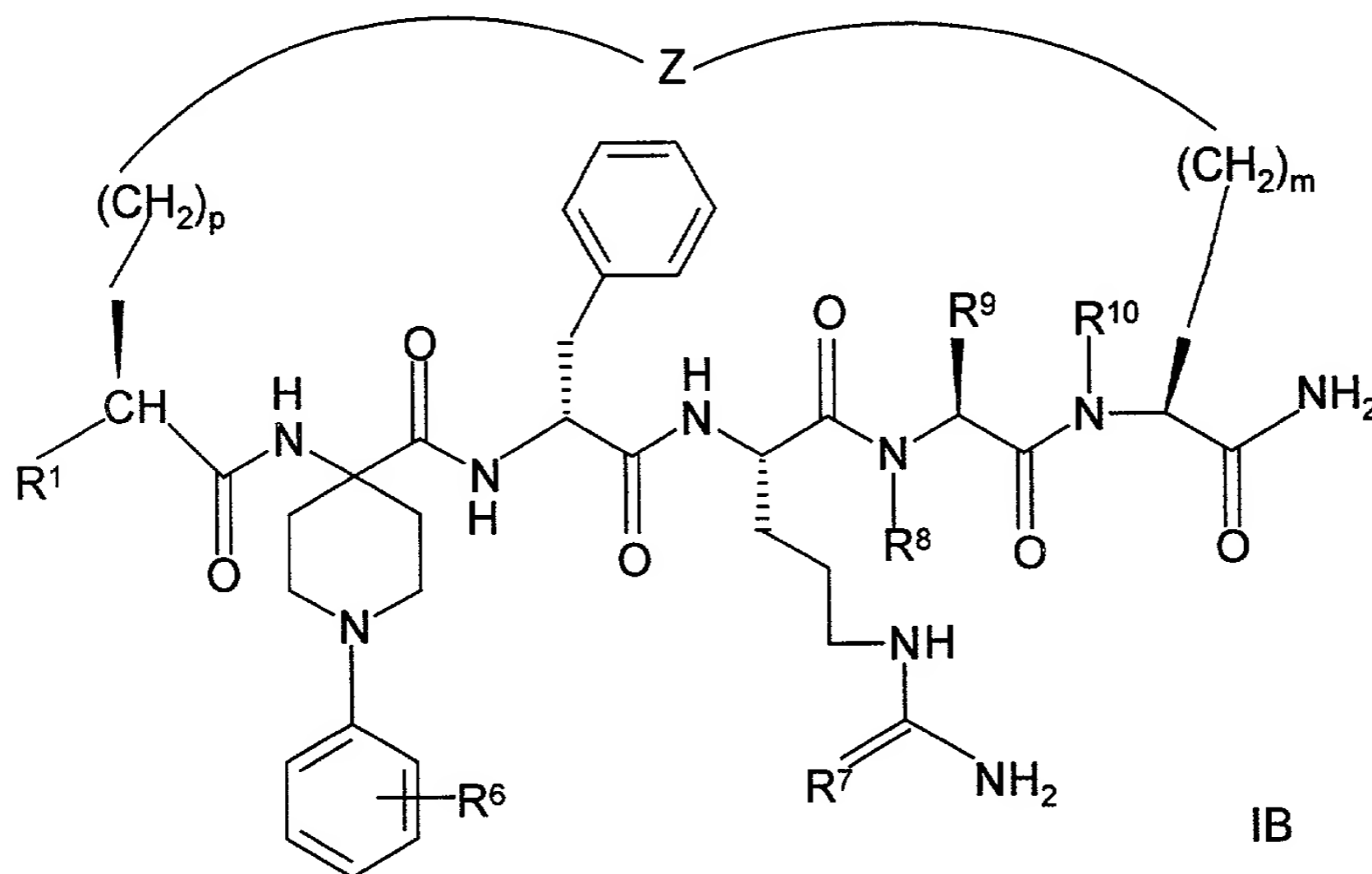
30. The compound of claim 2, wherein R<sup>1</sup> and R<sup>12</sup> together with X and Y form a phenyl ring.

31. The compound of claim 30, Cyclo(phthalic acid-Lys)-phthalic acid-Apc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

32. The compound of claim 30, Cyclo(phthalic acid-Dpr)-phthalic acid-Apc-(D)Phe-Arg-Trp-Dpr-NH<sub>2</sub>.

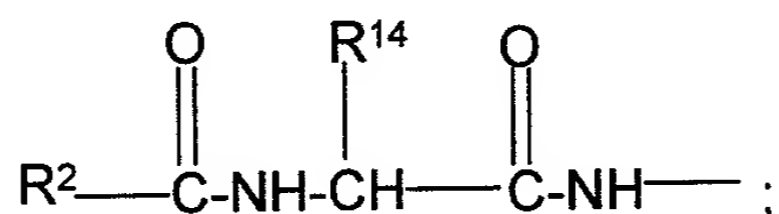
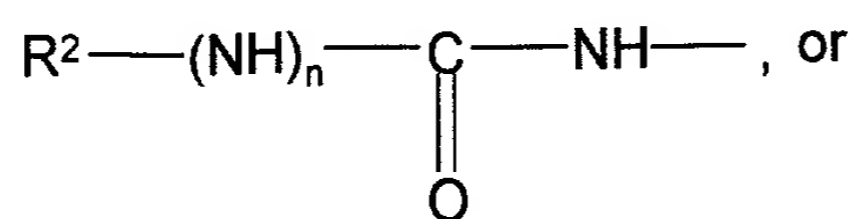
33. The compound of claim 2, Ac-Nle-cyclo(Cys-Cys)-Cys-Apc-(D)Phe-Arg-Trp-Cys-NH<sub>2</sub>.

34. A compound of the formula:



wherein

R<sup>1</sup> is hydrogen,



$R^2$  is alkyl having from 1 to 5 carbon atoms, alkenyl having from 2 to 5 carbon atoms, or alkynyl having from 2 to 5 carbon atoms;

$R^{14}$  is alkyl having from 1 to 5 carbon atoms;

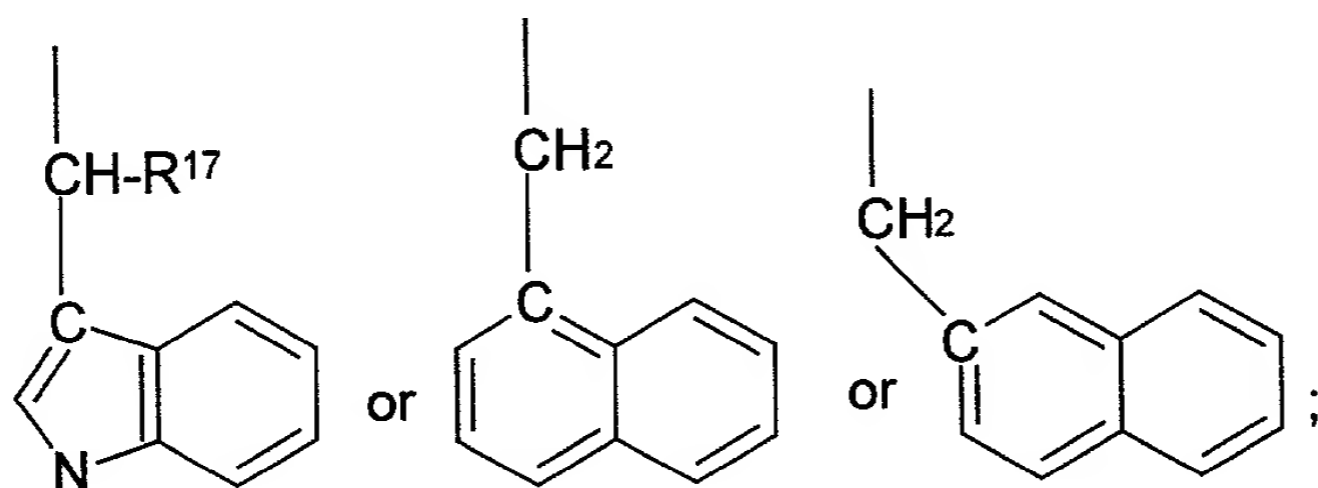
$n$  is 0 or 1;

$R^6$  is hydrogen, alkyl having from 1 to 3 carbons, alkoxy having from 1 to 3 carbons, phenoxy, or halo;

$R^7$  is O or NH;

$R^8$  is hydrogen or methyl;

$R^9$  is

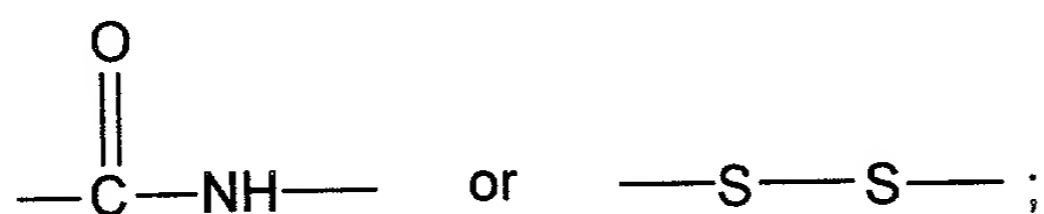


$R^{10}$  is hydrogen or methyl;

$p$  is 0 or 1;

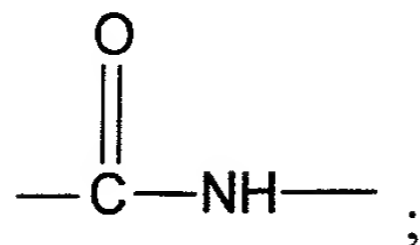
$m$  is 0, 1, 2, or 3; and

$Z$  is



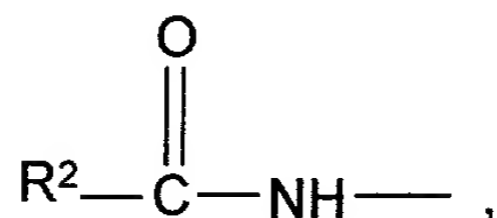
and  $R^{17}$  is hydrogen or lower alkyl.

35. The compound of claim 34, wherein Z is



R<sup>7</sup> is NH;

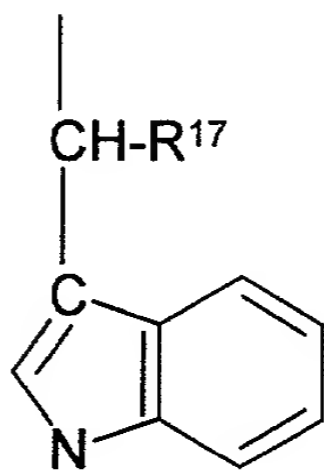
R<sup>1</sup> is



R<sup>2</sup> is alkyl;

R<sup>8</sup> and R<sup>10</sup> are each hydrogen; and

R<sup>9</sup> is



and R<sup>17</sup> is as above.

36. The compound of claim 35, wherein R<sup>6</sup> is hydrogen or alkyl.

37. The compound of claim 36, Penta-cyclo(Asp-Lys)-Asp-Appc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

38. The compound of claim 36, Penta-cyclo(Asp-Lys)-Asp-2-MeAppc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

39. The compound of claim 36, Penta-cyclo(Asp-Lys)-Asp-2-iPrAppc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

40. The compound of claim 36, Penta-cyclo(Asp-Lys)-Asp-3-MeAppc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

41. The compound of claim 36, Penta-cyclo(Asp-Lys)-Asp-4-MeAppc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

42. The compound of claim 35, wherein R<sup>6</sup> is halo.

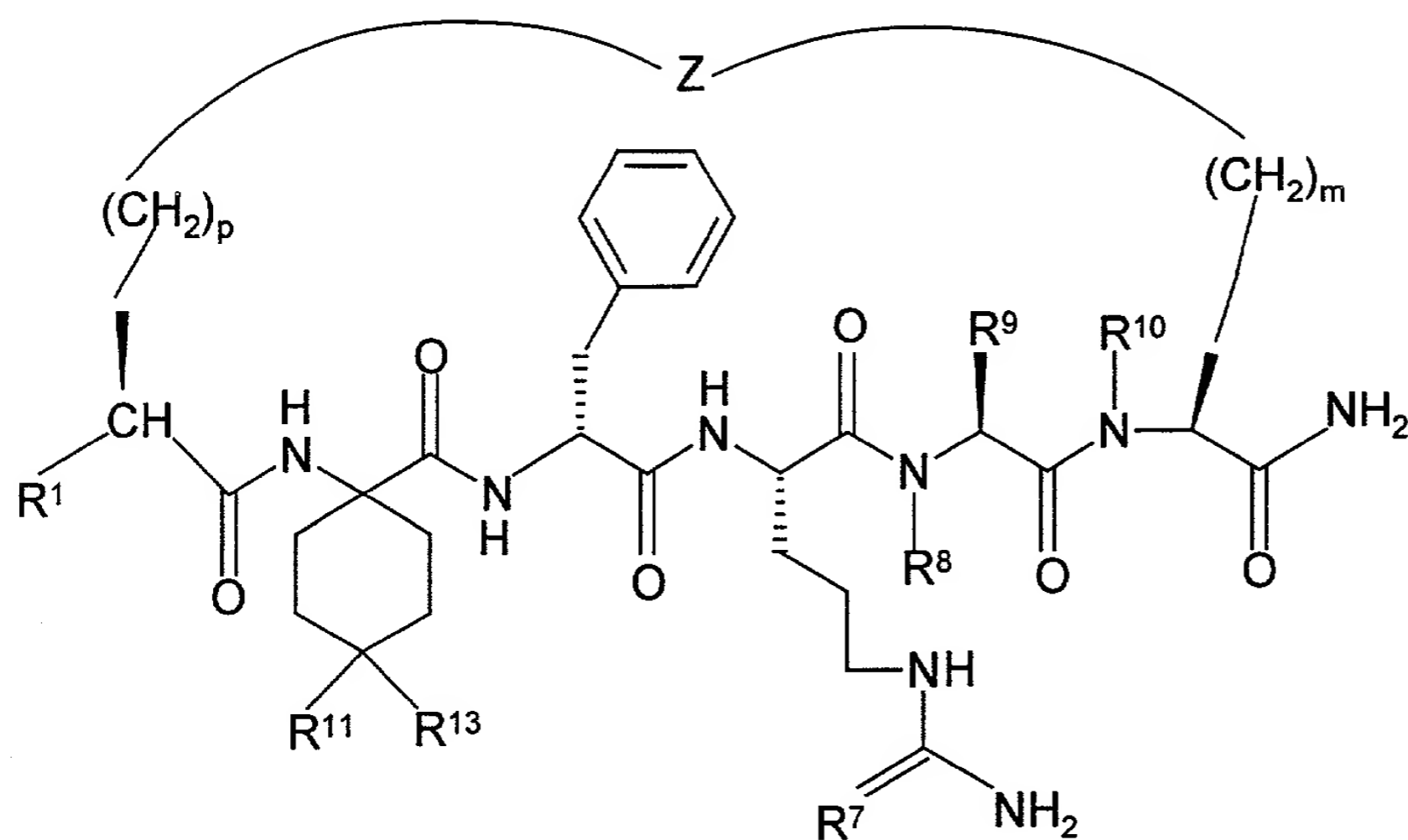
43. The compound of claim 42, Penta-cyclo(Asp-Lys)-Asp-4-ClAppc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

44. The compound of claim 35, wherein R<sup>6</sup> is alkoxy or phenoxy.

45. The compound of claim 44, Penta-cyclo(Asp-Lys)-Asp-4-PhOAppc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

46. The compound of claim 44, Penta-cyclo (Asp-Lys)-Asp-3-MeO-Appc-(D)Phe-Arg-Trp-Lys- NH<sub>2</sub>.

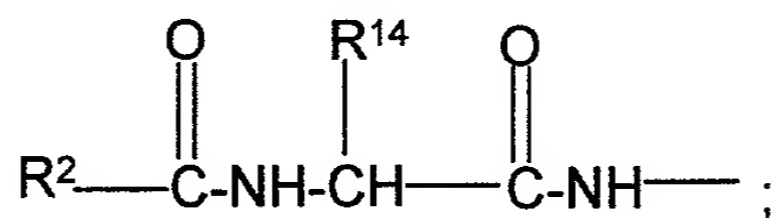
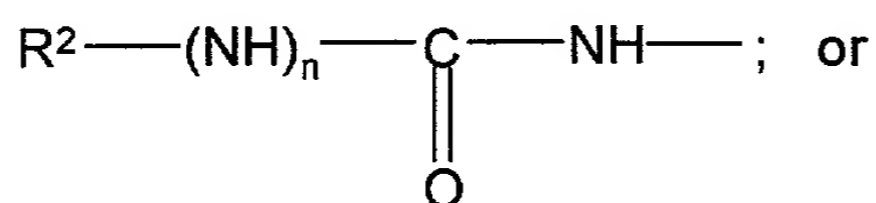
47. A compound of the formula:



IC

wherein

$R^1$  is hydrogen,



$R^2$  is alkyl having from 1 to 5 carbon atoms, alkenyl having from 2 to 5 carbon atoms, or alkynyl having from 2 to 5 carbon atoms;

$R^{14}$  is alkyl having from 1 to 5 carbon atoms;

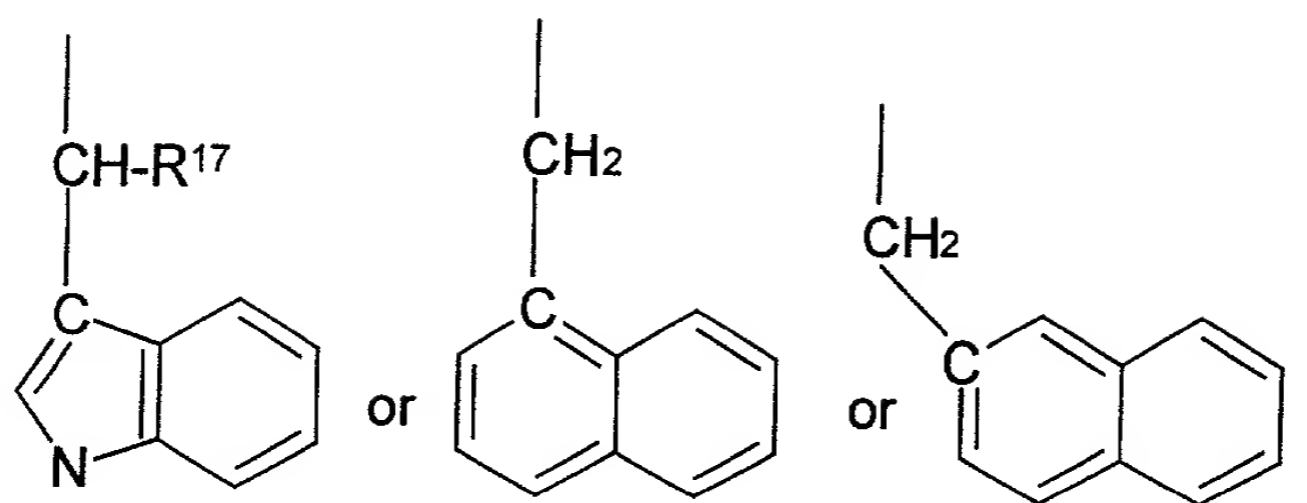
$n$  is 0 or 1;

$R^{11}$  and  $R^{13}$  are each independently hydrogen, alkyl having 3 or 4 carbon atoms, or cycloalkyl having 5 or 6 carbon atoms or  $R^{11}$  and  $R^{13}$  are both phenyl;

$R^7$  is O or NH;

$R^8$  is hydrogen or methyl;

$R^9$  is

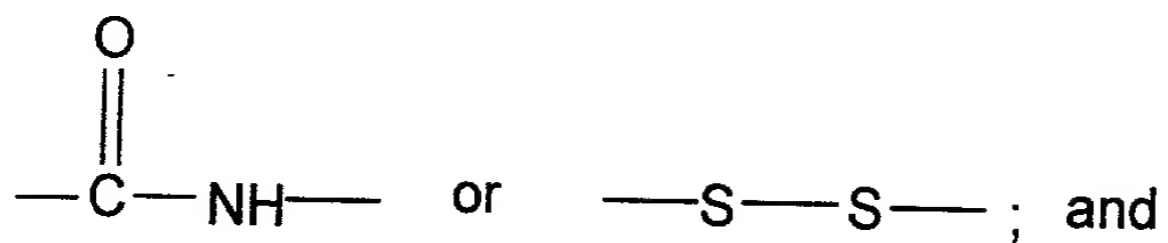


$R^{10}$  is hydrogen or methyl;

$p$  is 0 or 1;

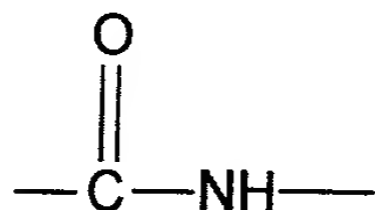
$m$  is 0, 1, 2, or 3; and

$Z$  is



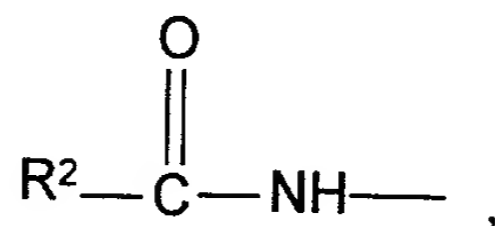
$R^{17}$  is hydrogen or lower alkyl.

48. The compound of claim 47, wherein  $Z$  is



$R^7$  is  $NH$ ;

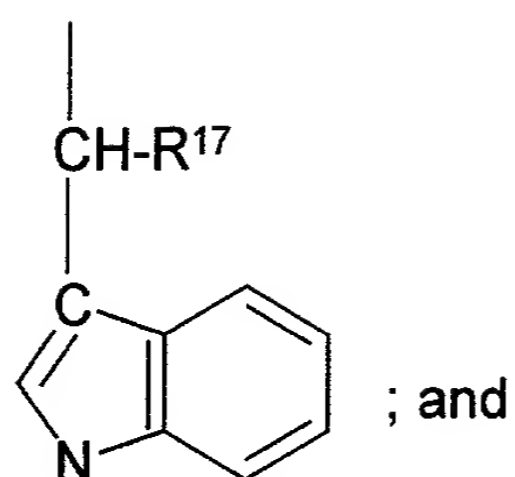
$R^1$  is



$R^2$  is alkyl;

$R^8$  and  $R^{10}$  are each hydrogen; and

R<sup>9</sup> is



R<sup>17</sup> is hydrogen or lower alkyl.

49. The compound of claim 48, wherein one of R<sup>11</sup> and R<sup>13</sup> is alkyl or cycloalkyl and the other is hydrogen.

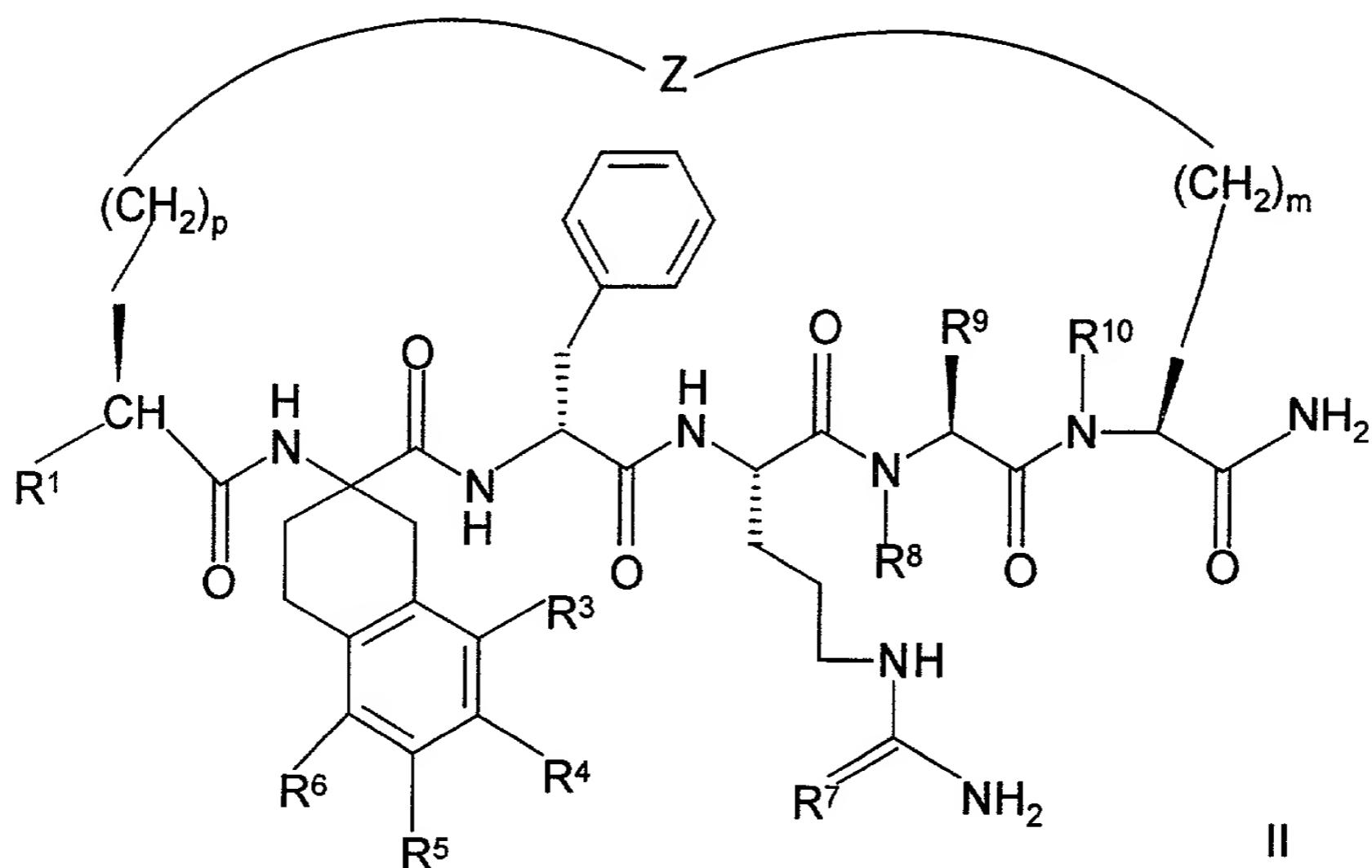
50. The compound of claim 49, penta-cyclo(Asp-Lys)-Asp-Achc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

51. The compound of claim 49, penta-cyclo(Asp-Lys)-Asp-Abc-(D) Phe-Arg-Trp-Lys-NH<sub>2</sub>.

52. The compound of claim 48, wherein R<sup>11</sup> and R<sup>13</sup> are phenyl.

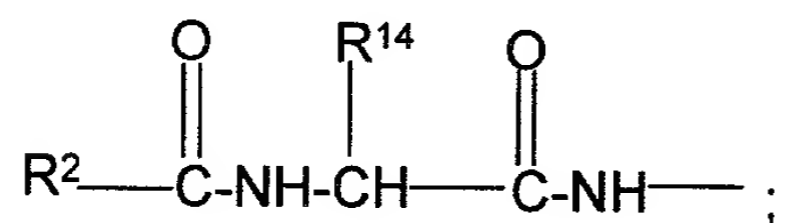
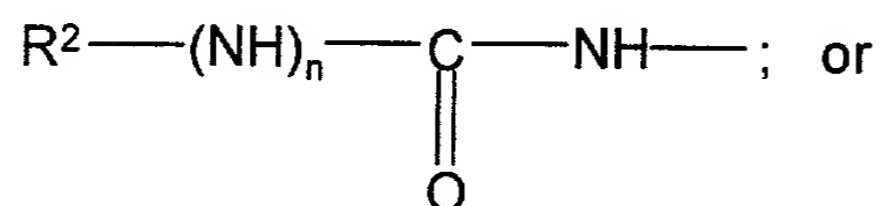
53. The compound of claim 52, penta-cyclo(Asp-Lys)-Asp-4-Adpc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

54. A compound of the formula:



wherein

$R^1$  is hydrogen,



$R^2$  is alkyl having from 1 to 5 carbon atoms, alkenyl having from 2 to 5 carbon atoms, or alkynyl having from 2 to 5 carbon atoms;

$R^{14}$  is alkyl having from 1 to 5 carbon atoms;

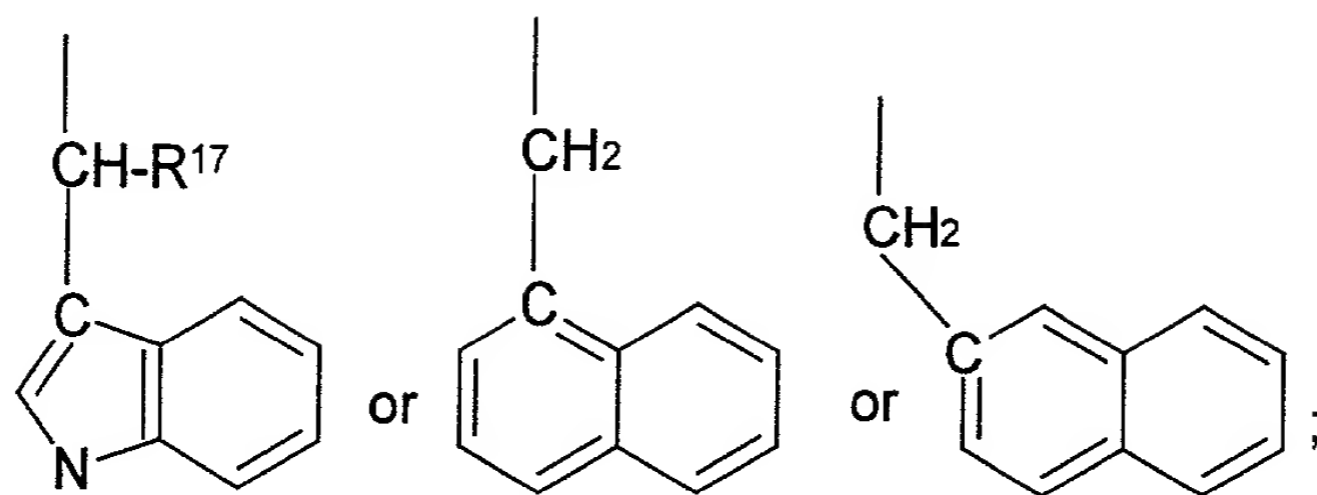
$n$  is 0 or 1;

one of  $R^3$ ,  $R^4$ ,  $R^5$  and  $R^6$  is hydrogen, halo, alkyl having from 1 to 3 carbon atoms, or alkoxy having from 1 to 3 carbon atoms, and the remainder are hydrogen;

$R^7$  is O or NH;

$R^8$  is hydrogen or methyl;

$R^9$  is

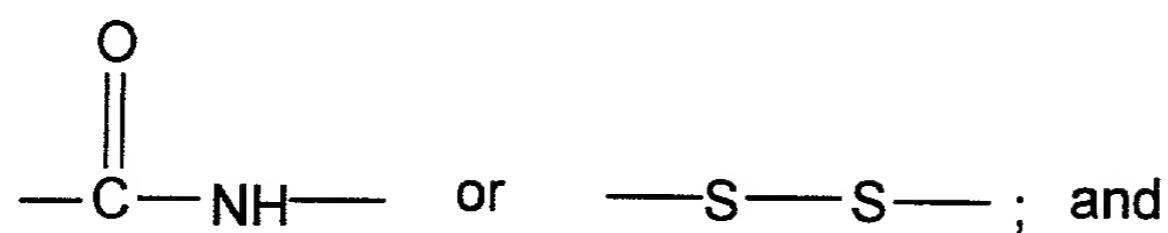


$R^{10}$  is hydrogen or methyl;

$p$  is 0 or 1;

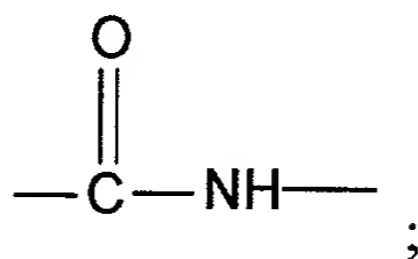
$m$  is 0, 1, 2, or 3; and

$Z$  is

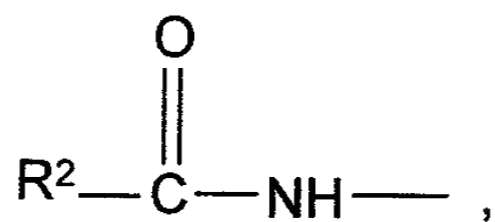


$R^{17}$  is hydrogen or lower alkyl.

55. The compound of claim 54, wherein  $Z$  is



$R^1$  is

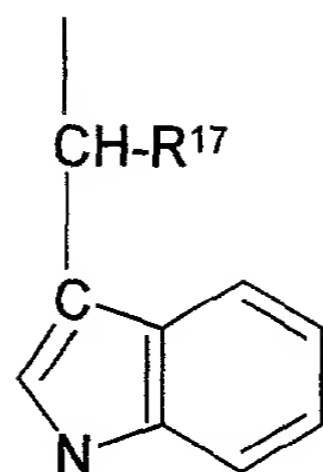


$R^2$  is alkyl;

$R^3$ ,  $R^4$ ,  $R^5$ ,  $R^8$  and  $R^{10}$  are each hydrogen;

$R^6$  is hydrogen, halo, alkyl having from 1 to 3 carbon atoms, or alkoxy having from 1 to 3 carbon atoms; and

R<sup>9</sup> is



and R<sup>17</sup> is as above.

56. The compound of claim 55, wherein R<sup>7</sup> is NH.
57. The compound of claim 56, wherein R<sup>6</sup> is hydrogen or alkyl.
58. The compound of claim 57, penta-cyclo(Asp-Lys)-Asp-(D,L)-Atc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.
59. The compound of claim 57, penta-cyclo(Asp-Lys)-Asp-5-Me-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.
60. The compound of claim 57, penta-cyclo(Asp-Lys)-Asp-5-Et-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.
61. The compound of claim 57, penta-cyclo(Asp-Lys)-Asp-5-iPr-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.
62. The compound of claim 52, wherein R<sup>6</sup> is halo.
63. The compound of claim 62, penta-cyclo(Asp-Lys)-Asp-5-BrAtc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

64. The compound of claim 62, penta-cyclo(Asp-Lys)-Asp-5-ClAtc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

65. The compound of claim 52, wherein R<sup>6</sup> is alkoxy.

66. The compound of claim 65, penta-cyclo(Asp-Lys)-Asp-5-MeO-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

67. The compound of claim 65, penta-cyclo(Asp-Lys)-Asp-5-EtO-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

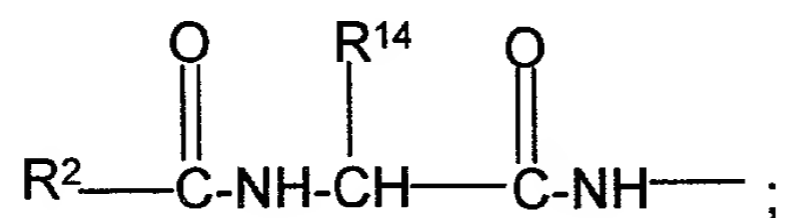
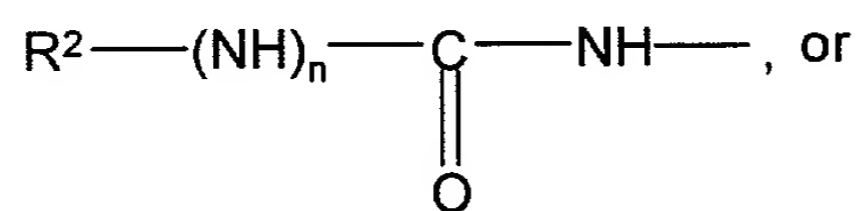
68. The compound of claim 65, penta-cyclo(Asp-Lys)-Asp-5-iPrO-(D,L)Atc-(D)Phe-Arg-Trp-Lys-NH<sub>2</sub>.

69. The compound of claim 56, wherein R<sup>7</sup> is O and R<sup>6</sup> is halo.

70. The compound of claim 69, penta-cyclo(Asp-Lys)-Asp-5-BrAtc-(D)Phe-Cit-Trp-Lys-NH<sub>2</sub>.

71. The compound of claim 69, penta-cyclo(Asp-Lys)-Asp-5-ClAtc-(D)Phe-Cit-Trp-Lys-NH<sub>2</sub>.

72. The compound of claim 54, wherein Z is -S-S- ;  
R<sup>1</sup> is

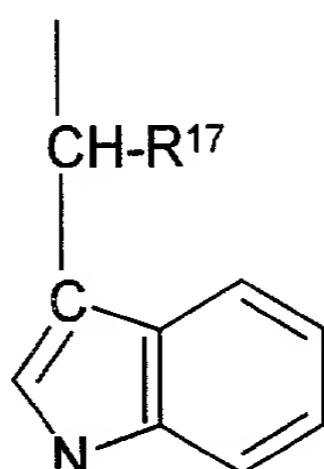


$R^3, R^4, R^5, R^8$  and  $R^{10}$  are hydrogen;

$R^6$  is hydrogen or halo;

$R^7$  is NH;

$R^9$  is



wherein  $R^{17}$  is as above.

73. The compound of claim 72, Ac-Nle-cyclo(Cys-Cys)-Cys-(D,L)Atc-(D)Phe-Arg-Trp-Cys-NH<sub>2</sub>.

74. The compound of claim 72, penta-cyclo(Cys-Cys)-Cys-5-Br(D,L)Atc-(D)Phe-Arg-Trp-Cys-NH<sub>2</sub>.

75. A compound, penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Ala-Trp-Lys-NH<sub>2</sub>.

76. A compound, Penta-cyclo(Asp-Lys)-Asp-Apc-(D)Phe-Arg-(2S,3S) beta methyl-Trp-Lys-NH<sub>2</sub>.

\* \* \* \*